

1. A pharmaceutical composition for neuraxial delivery comprising both a hydrophilic N-linked glycosyl prodrug compound and a formulary, wherein said hydrophilic N-linked glycosyl prodrug compound comprises a CNS acting prodrug compound covalently linked with a saccharide through an amide or an amine bond and said formulary comprises an agent selected from the group consisting of an additive, a stabilizer, a carrier, a binder, a buffer, an excipient, an emollient, a disintegrant, a lubricating agent, an antimicrobial agent and a preservative,

2. The pharmaceutical composition of claim 1, further comprising a dosage form selected from the group consisting of a powder, a granule, an emollient cream, a tablet, a capsule, a lozenge, a trouch, a suppository, a perenteral solution, an injection solution, a syrup, an elixir, a nasal solution, a intrabronchial solution, an ophthalmic solution, a dermal patch and a bandage.

A-B-D-E

wherein, each of "-" comprises a single bond; A, comprises a CNS-acting prodrug compound; B, comprises a lower alkyl; D, comprises a nitrogen linker amine or amide; and, E comprises a saccharide, with the proviso that E is not a cyclodextrin or a glucuronide.

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C2

4. The pharmaceutical composition of claim 3 wherein said A-moiety comprises a CNS acting prodrug compound selected from the group consisting of a stimulants, an anti-depressant, a neurotransmitter, a dopaminergic agent, a metabolic precursor compound, a muscle relaxant, a tranquilizer, an analgesic, a narcotic, a sedative, a hypnotic, a narcotic antagonist, a narcotic analgesic, an anti-hypotensive agent, a  $\beta$ -blocker, an anti-hypertensive agent, a vasodilator, an anesthetic, an anti-epileptic compound, an anti-convulsant drug, a hormone, a sympatholytic agent, a centrally acting anti-cholinergic compound, a sympathetic stimulants, an adrenergic agent, a barbiturate antagonist, an anti-infective agent, an anticholinergic agent, an anticonvulsant, an sympatholytics, an ACE inhibitor, an anti-epilepsy agent, an antiviral agent, a gonadotropin synthesis stimulant, a diuretic and an emetic agent.

5. The pharmaceutical composition of claim 4, wherein said CNS acting prodrug further comprises a dopaminergic agonist or antagonist.

6. A process for preparing a hydrophilic N-linked glycosyl prodrug compound for neuraxial delivery, comprising the step of N-linking a CNS acting prodrug compound with a saccharide moiety under conditions suitable for formation of an amide or amine bond between said CNS acting prodrug compound and said saccharide moiety.

7. The process of claim 6, wherein said hydrophilic N-linked glycosyl prodrug compound comprises a compound according to FORMULA I:

A-B-D-E

Formula I

wherein, each of "-" comprises a single bond; A, comprises said CNS-acting prodrug; B, comprises an optional lower alkyl; D, comprises said N-linker amine or amide; and, E comprises said saccharide, with the proviso that E is not a cyclodextrin or a glucuronide.

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8. A process for preparing a pharmaceutical composition comprising hydrophilic N-linked glycosyl prodrug compound for neuraxial delivery, comprising the steps of N-linking a CNS acting prodrug compound with a saccharide moiety under conditions suitable for formation of an amide or amine bond between said CNS acting prodrug compound and said saccharide moiety; and formulating said N-linked glycosyl prodrug compound into said pharmaceutical composition by addition of an agent selected from the group consisting of an additive, a stabilizer, a carrier, a binder, a buffer, an excipient, an emollient, a disintegrant, a lubricating agent, an antimicrobial agent and a preservative.

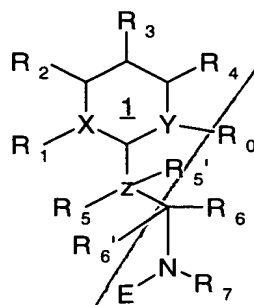
9. A method for treating a neurological dysfunction in a subject in need thereof comprising the step of administering to the subject a pharmaceutical composition comprising a compound according to FORMULA I:

A-B-D-E

Formula I

wherein, each of "-" comprises a single bond; A, comprises a CNS-acting prodrug; B, comprises a lower alkyl; D, comprises a nitrogen linker amine or amide; and, E comprises a saccharide, with the proviso that E is not a cyclodextrin.

10. The method of claim 9, wherein said compound further comprises a compound according to FORMULA IV,



Formula IV

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Sub 3  
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**R<sub>0</sub>, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> comprise substituents of Ring 1;**

Z, R<sub>5</sub> and R<sub>5'</sub> are optional; when Z is present it comprises a lower alkyl having substituents R<sub>5</sub>, R<sub>5'</sub>;

N comprises a nitrogen atom of an amine or an amide linked with E through a bond and having R<sub>7</sub> as a substituent; and

with the proviso that when E is a monosaccharide it is not a C<sub>6</sub> glucuronic acid and when E is an oligosaccharide it is not a cyclodextrin.

12. The method of claim 11, wherein said R<sub>2</sub> and R<sub>3</sub> are hydroxyl.

14. The method of claim 10, wherein each of X and Y comprise a lower alkyl having 2 carbon atoms.

15. The method of claim 10, wherein each of X and Y comprise a lower alkyl chain having 1 carbon atom.

16. The method of claim 10, wherein Z comprises a lower alkyl having 1 or 2 carbon atoms.

17. The method of claim 16, wherein said R<sub>5</sub> and R<sub>5</sub> are selected from the group consisting of hydrogen, hydroxyl, alkoxy, carboxyl, alkoxycarbonyl, aminocarbonyl, alkylamino-carbonyl and dialkylamino-carbonyl.

18. The method of claim 17, wherein said R<sub>6</sub> and R<sub>6</sub> are selected from the group consisting of hydrogen, hydroxyl, alkoxy, carboxyl, alkoxycarbonyl, aminocarbonyl, alkylamino-carbonyl and dialkylamino-carbonyl.

19. The method of claim 10, wherein Z and R<sub>6</sub> comprise a carbonyl group, N comprises an amide and R<sub>7</sub> is hydrogen.

20. The method of claim 10, wherein R<sub>7</sub> comprises a hydrogen and N comprises an amine.

21. The method of claim 10, wherein said E substituent is selected from the group consisting of a radical of a monosaccharide, a disaccharide, a trisaccharide and an oligosaccharide

22. The method of claim 10, wherein said E monosaccharide comprises a radical of a sugar selected from the group consisting of aldose, ketoaldose, alditols, ketoses, aldonic acids, ketoaldonic acids, aldaric acids, ketoaldaric acids, amino sugars, keto-amino sugars, uronic acids, ketouronic acids, lactones and keto-lactones.

23. The method of claim 22, wherein said radical of a sugar is further selected from the group consisting of triosyl, tetraosyl, pentosyl, hexosyl, heptosyl, octosyl and nonosyl radicals and derivatives thereof.

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25. The method of claim 23, wherein said hexosyl sugar radical comprises a straight carbon chain, a furanosyl ring, a pyranosyl ring or a derivative thereof.

27. The method of claim 23, wherein said pentosyl radical is further selected from the group consisting of ribose, arabinose, xylose, lyxose, ribulose, xylulose and derivatives thereof.

28. The method of claim 23, wherein said heptosyl residue comprises sedoheptulose and derivatives thereof.

29. The method of claim 23, wherein said nonosyl residue comprises N-acetylneuraminic acid, N-glycolylneuraminic acid, diacetylneuraminic acid, and derivatives thereof.

30. The method of claim 26, wherein said compound further comprises glucose, galactose, fructose or derivatives thereof.

31. The method of claim 21, wherein said disaccharide, trisaccharide and oligosaccharide comprise a sugar homopolymer or a sugar heteropolymer.

32. The method of claim 31, wherein said sugar homopolymer comprises a glycoside selected from the group consisting of erythran, threan, riban, arabinan, xylan, lyxan, allan, altran, glucan, mannan, gulan, idan, galactan, talan, fructan and derivatives thereof.

33. The method of claim 31, wherein said sugar heteropolymer further comprises a glycoside selected from the group consisting of erythroside, threoside, riboside, arabinoside, xyloside, lyxoside, alloside, altroside, glucoside, mannoside, guloside, idoside, galactoside, taloside, fructoside and derivatives thereof.

34. The method of claim 33, wherein said sugar heteropolymer further comprises a glycoside metabolized in a mammal to a glucosyl or a galactosyl monosaccharide.

35. The method of claim 32, wherein said glycoside further comprises a riban, an arabinan, a glucan, a galactan, a mannan and derivatives thereof.

36. The method of claim 33, wherein said glycoside further comprises a riboside, an arabinoside, a glucoside, a galactoside, a mannoside, a fructoside and derivatives thereof.

37. The method of claim 34, wherein said glucan comprises maltose, amylose, glycogen, cellobiose, amylopectin, heparin and derivatives thereof.

38. The method of claim 35, wherein said glucoside comprises sucrose and derivatives thereof.

39. The method of claim 35, wherein said fructoside comprises fucosidolactose and derivatives thereof.

40. The method of claim 35, wherein said galactoside comprises lactose, hyaluronic acid, pectin and derivatives thereof.

41. A method for improving the aqueous solubility and blood brain barrier penetrability of a drug, comprising the step of forming a covalent chemical bond between the drug and a sugar or oligosaccharide, wherein said drug comprises an amide or amine group and said drug bonded to said sugar or oligosaccharide comprises a compound according to FORMULA I:

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### Formula I

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